

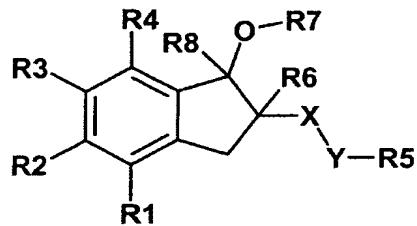
PATENT

Divisional Application of Serial No. 10/231,362, filed August 30, 2002
Attorney Docket No.: 38005-0186

CLAIMS

What is claimed is:

1. A compound of the formula I,



in which

R1, R2, R3, R4 independently of one another are H; F, Cl, Br, I, ON, N₃, NO₂, OH, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CH₂-phenyl, O-phenyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, where in the alkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, where in the alkyl radicals up to seven hydrogen atoms may be replaced by fluorine;
NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₁-C₈)-alkyl, NH-CO-(C₃-C₈)-cycloalkyl;
SO₃H, SO₂-NH₂, SO₂-NH-(C₁-C₈)-alkyl, SO₂-NH-(C₃-C₈)-cycloalkyl;
SO₂-(C₁-C₆)-alkyl;
NH-SO₂-NH₂; NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-cycloalkyl;

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O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, COO(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂

(C₁-C₈)-alkyl, (C₃-C₈)cycloalkyl, (C₂-C₈)-alkenyl, (C₂-C₈)-alkynyl,
wherein the alkyl, alkenyl, and alkynyl groups one to seven hydrogen atoms may be replaced by fluorine;

or one hydrogen may be replaced by OH, OC(O)CH₃, O-CH₂-Ph,
NH₂, NH-CO-CH₃ or N(COOCH₂Ph)₂

phenyl, 1- or 2-naphthyl,

5-tetrazolyl, 1 -[(C₁-C₆)-alkyl]-5-tetrazolyl, 2-[(C₁-C₆)-alkyl]-5-tetrazolyl,

1-imidazolyl,

1-or 4-[1,2,4]-triazolyl,

2- or 3-thienyl,

2- or 3-furyl,

2-, 3- or 4-pyridyl,

2-, 4- or 5-oxazolyl,

3-, 4- or 5-isoxazolyl,

2-, 4- or 5-thiazolyl,

3-, 4- or 5-isothiazolyl,

where the aryl radical or heterocycle may be substituted up to two times by

F, Cl, Br, CN,

OH, (C₁-C₄)-alkyl, CF₃, O-(C₁-C₄)-alkyl,

S(O)_{0.2}(C₁-C₆)-alkyl, NH₂, NH-SO₂-(C₁-C₄)-alkyl;

COOH, CO-O-(C₁-C₄)-alkyl, CO-NH₂ and where in the alkyl groups one to seven hydrogen atoms may be replaced by fluorine; or

R2 and R3 together form the radical -O-CH₂-O-;

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X is S, SO, SO₂;

Y is (CH₂)_p, where p may be 0,1, 2 or 3;

R5 is (C₁-C₁₈)-alkyl, (C₃-C₄)-cycloalkyl, (C₆-C₈)-cycloalkyl,
where in the alkyl groups up to seven hydrogen atoms may be
replaced by fluorine;
(CH₂)₁₋₆-COOH, (CH₂)₁₋₆-COO-(C₁-C₆)-alkyl, (CH₂)₁₋₆-CONH₂
CH₂-CH(NHR10)-COR11, where R10 may be H or C(O)-(C₁-C₆)-alkyl
and R11 may be OH, O-(C₁-C₆)-alkyl or NH₂;

phenyl, 1- or 2-naphthyl, biphenyl, or a heterocyclic radical, where the
rings or ring systems are in each case substituted up to three times
by

F, Cl, Br, I, CN, OH, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CO-(C₁-
C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-
C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-
C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₁-C₈)-alkyl, NH-CO-(C₃-
C₈)-cycloalkyl, SO₃H; SO₂-NH₂, SO₂-NH-(C₁-C₈)-alkyl, SO₂-NH-(C₃-
C₈)-cycloalkyl, NH-SO₂-NH₂; NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-
cycloalkyl; O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO-
O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-
alkyl, CO-N[(C₁-C₈)-alkyl]₂;
(C₁-C₈)-alkyl, (C₃-C₈)-cycloalkyl, where in the alkyl groups in each
case one to seven hydrogen atoms may be replaced by fluorine;

R6 is (CH₂)₀₋₆-R9, (CH₂)₀₋₆-COOH, (CH₂)₀₋₆-COO-(C₁-C₆)-alkyl, (CH₂)₀₋₆-
CONH₂, (CH₂)₀₋₆-CH(NHR15)-COR16, F, Cl, Br, CN, (C₁-C₁₈)-alkyl,
(C₃-C₄)-cycloalkyl, (C₆-C₈)-cycloalkyl, where in the alkyl radicals or
cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

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R15 is H, C(O)-(C₁-C₆)-alkyl;

R16 is OH, O-(C₁-C₆)-alkyl, NH₂

R7 is (CH₂)₀₋₄-R12, H, (C₁-C₁₂)-alkyl, (C₃-C₄)-cycloalkyl, (C₆-C₈)-cycloalkyl, COO(C₁-C₆)-alkyl, COO(C₃-C₈)-cycloalkyl, where in the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

R8 is (CH₂)₀₋₄-R14, (C₁-C₁₂)-alkyl, (C₃-C₄-cycloalkyl, (C₆-C₈)-cycloalkyl, where in the alkyl or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine atoms;

R9, R12, R14 independently of one another are

phenyl, 1- or 2-naphthyl, biphenyl, or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by

F, Cl, Br, I, CN, OH, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₁-C₈)-alkyl, NH-CO-(C₃-C₈)-cycloalkyl, SO₃H; SO₂-NH₂, SO₂-NH-(C₁-C₈)-alkyl, SO₂-NH-(C₃-C₈)-cycloalkyl, NH-SO₂-NH₂; NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-cycloalkyl; O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO-O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂; (C₁-C₈)-alkyl, (C₃-C₈)-cycloalkyl, where in the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

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and its physiologically acceptable salts.

2. A compound of the formula I as claimed in claim 1 in which R1, R2, R3, R4 independently of one another are H, F, Cl, Br, N₃, O(C₁-C₈)-alkyl, or (C₁-C₈)-alkyl and where in the alkyl groups one to seven hydrogen atoms may be replaced by fluorine;

where in each case at least one of the radicals R1, R2, R3 and R4 is different from hydrogen;

X is S, SO, or SO₂;

Y is (CH₂)_p, where p may be 0, 1, 2, or 3;

R5 is (C₁-C₁₈)-alkyl, (C₃-C₄)-cycloalkyl, (C₆-C₈)-cycloalkyl, where in the alkyl groups up to seven hydrogen atoms may be replaced by fluorine;
(CH₂)₁₋₆-COOH, (CH₂)₁₋₆-COO-(C₁-C₆)-alkyl, (CH₂)₁₋₆-CONH₂
CH₂-CH(NHR10)-COR11, where R10 may be H or C(O)-(C₁-C₆)-alkyl and R11 may be OH, O-(C₁-C₆)-alkyl or NH₂;

Phenyl, 1- or 2-naphthyl, biphenyl, or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by

F, Cl, Br, I, CN, OH, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₁-C₈)-alkyl, NH-CO-(C₃-C₈)-cycloalkyl, SO₃H; SO₂-NH₂, SO₂-NH-(C₁-C₈)-alkyl, SO₂-NH-(C₃-C₈)-cycloalkyl, NH-SO₂-NH₂; NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-cycloalkyl; O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO-

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O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂;

(C₁-C₈)-alkyl, (C₃-C₈)-cycloalkyl, where in the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

R6 (CH₂)₀₋₆-R9, (CH₂)₀₋₆-COOH, (CH₂)₀₋₆-COO-(C₁-C₆)-alkyl, (CH₂)₀₋₆-CONH₂, (CH₂)₀₋₆-CH(NHR15)-COR16, F, Cl, Br, CN, (C₁-C₁₈)-alkyl, (C₃-C₄)-cycloalkyl, (C₆-C₈)-cycloalkyl, where in the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

R15 is H, C(O)-(C₁-C₆)-alkyl;

R16 is OH, O-(C₁-C₆)-alkyl, NH₂;

R7 is (CH₂)₀₋₄-R12, H, (C₁-C₁₂)-alkyl, (C₃-C₄)-cycloalkyl, (C₆-C₈)-cycloalkyl, COO(C₁-C₆)-alkyl, COO(C₃-C₈)-cycloalkyl, where in the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

R8 is (CH₂)₀₋₄-R14, (C₁-C₁₂)-alkyl, (C₃-C₄-cycloalkyl, (C₆-C₈)-cycloalkyl, where in the alkyl or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine atoms;

R9, R12, R14 independently of one another are

phenyl, 1- or 2-naphthyl, biphenyl, or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by

F, Cl, Br, I, CN, OH, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-

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C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₁-C₈)-alkyl, NH-CO-(C₃-C₈)-cycloalkyl, SO₃H; SO₂-NH₂, SO₂-NH-(C₁-C₈)-alkyl, SO₂-NH-(C₃-C₈)-cycloalkyl, NH-SO₂-NH₂; NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-cycloalkyl; O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO-O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂;

(C₁-C₈)-alkyl, (C₃-C₈)-cycloalkyl, where in the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

and its physiologically acceptable salts.

3. A compound of the formula I as claimed in claim 1 in which

R₁, R₂, R₃, R₄ independently of one another are H, F, Cl, Br, N₃, O(C₁-C₈)-alkyl, or (C₁-C₈)-alkyl and where in the alkyl groups one to seven hydrogen atoms may be replaced by fluorine;

where in each case at least one of the radicals R₁, R₂, R₃ and R₄ is different from hydrogen;

X is SO₂;

Y is (CH₂)_p, where p may be 0, 1 or 2;

R₅ is (C₁-C₈)-alkyl, where in the alkyl group up to seven hydrogen atoms may be replaced by fluorine;

R₆ is F, Cl, Br, CN, or (C₁-C₈)-alkyl, where in the alkyl group up to seven hydrogen atoms may be replaced by fluorine;

R₇ is H, or (C₁-C₁₂)-alkyl, where in the alkyl group up to seven hydrogen atoms may be replaced by fluorine;

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R8 is (C₁-C₁₂)-alkyl, where in the alkyl group up to seven hydrogen atoms may be replaced by fluorine;

and its physiologically acceptable salts.

4. A pharmaceutical composition comprising one or more compounds as claimed in claim 1 and a pharmaceutically acceptable carrier.

5. The pharmaceutical composition according to claim 4, further comprising one or more active compounds for reducing weight in mammals.

6. A method for reducing weight in mammals, comprising administering to said mammal a compound of formula I as claimed in claim 1.

7. A method of treating obesity, comprising administering to a subject in need thereof, an effective amount of a compound of formula I as claimed in claim 1.

8. The method of claim 7, further comprising administering one or more active compounds for reducing weight in mammals.

9. A method of treating type II diabetes, comprising administering to a subject in need thereof, an effective amount of a compound of formula I as claimed in claim 1.

10. The method of claim 9, further comprising administering one or more active compounds for reducing weight in mammals.

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11. A method of maintaining weight loss, comprising administering to a subject in need thereof, an effective amount of a compound of formula I as claimed in claim 1.

12. The method of claim 11, further comprising administering one or more active compounds for reducing weight in mammals.